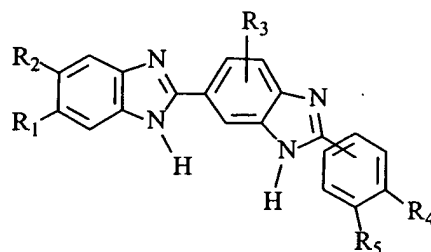


1. A therapeutic method comprising inhibiting cancer cells by administering to a mammal in need of such therapy, an amount of a compound of formula I:



(I)

wherein:

$R_1$  and  $R_2$  are each independently hydrogen, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, nitro, hydroxy, halo(C<sub>1</sub>-C<sub>6</sub>)alkyl, trifluoromethoxy, halo, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkanoyl, hydroxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxycarbonyl, (C<sub>1</sub>-C<sub>6</sub>)alkylthio, (C<sub>2</sub>-C<sub>6</sub>)alkanoyloxy, aryl or heteroaryl; or  $R_1$  and  $R_2$  taken together are methylenedioxy; or  $R_1$  and  $R_2$  taken together with the atoms to which they are attached are benzo; wherein any aryl, heteroaryl, or benzo may optionally be substituted by 1, 2, or 3 substituents independently selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, nitro, hydroxy, halo(C<sub>1</sub>-C<sub>6</sub>)alkyl, trifluoromethoxy, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkanoyl, hydroxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxycarbonyl, (C<sub>1</sub>-C<sub>6</sub>)alkylthio, (C<sub>2</sub>-C<sub>6</sub>)alkanoyloxy, and halo;

$R_3$  is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, nitro, hydroxy, halo(C<sub>1</sub>-C<sub>6</sub>)alkyl, trifluoromethoxy, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkanoyl, hydroxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxycarbonyl, (C<sub>1</sub>-C<sub>6</sub>)alkylthio, (C<sub>2</sub>-C<sub>6</sub>)alkanoyloxy, or halo; and

$R_4$  and  $R_5$  taken together are a 3, 4, or 5 membered saturated or unsaturated chain comprising members selected from the group consisting of non-peroxide oxygen, sulfur, N(X), and carbon, optionally substituted by oxo; wherein each X is independently absent or is H, O, (C<sub>1</sub>-C<sub>6</sub>)alkyl, phenyl or benzyl; and wherein at least one of said chain members is an N-H group; or a pharmaceutically acceptable salt thereof;

provided  $R_4$  and  $R_5$  taken together are not -N(H)-C(H)=N-;

effective to inhibit said cancer cells.

2. The method of claim 1 wherein  $R_1$  is hydrogen, halo, aryl or heteroaryl; wherein any aryl or heteroaryl may optionally be substituted by 1, 2, or 3 substituents independently selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, nitro, hydroxy, halo(C<sub>1</sub>-C<sub>6</sub>)alkyl, trifluoromethoxy, and halo.

3. The method of claim 1 wherein  $R_2$  is hydrogen, halo, aryl or heteroaryl; wherein any aryl or heteroaryl may optionally be substituted by 1, 2, or 3 substituents independently selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, nitro, hydroxy, halo(C<sub>1</sub>-C<sub>6</sub>)alkyl, trifluoromethoxy, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkanoyl, hydroxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxycarbonyl, (C<sub>1</sub>-C<sub>6</sub>)alkylthio, (C<sub>2</sub>-C<sub>6</sub>)alkanoyloxy, and halo.

4. The method of claim 1 wherein  $R_1$  and  $R_2$  taken together are methylenedioxy.

5. The method of claim 1 wherein  $R_1$  and  $R_2$  taken together are benzo, which benzo is optionally substituted by 1, 2, or 3 substituents independently selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, nitro, hydroxy, halo(C<sub>1</sub>-C<sub>6</sub>)alkyl, trifluoromethoxy, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkanoyl, hydroxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxycarbonyl, (C<sub>1</sub>-C<sub>6</sub>)alkylthio, (C<sub>2</sub>-C<sub>6</sub>)alkanoyloxy, and halo.

6. The method of claim 1 wherein  $R_3$  is hydrogen.

7. The method of claim 1 wherein  $R_3$  is (C<sub>1</sub>-C<sub>6</sub>)alkoxy, nitro, hydroxy, halo(C<sub>1</sub>-C<sub>6</sub>)alkyl, trifluoromethoxy, (C<sub>1</sub>-C<sub>6</sub>)alkanoyl, hydroxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxycarbonyl, (C<sub>1</sub>-C<sub>6</sub>)alkylthio, (C<sub>2</sub>-C<sub>6</sub>)alkanoyloxy, or halo.

8. The method of claim 1 wherein  $R_4$  and  $R_5$  taken together are -N(H)-N=N-, -N(H)-N(H)-CH<sub>2</sub>-, -N(H)-N(H)-CH<sub>2</sub>-CH<sub>2</sub>-, -N(H)-CH<sub>2</sub>-N(H)-, -N(H)-CH=CH-,

-N(H)-CH<sub>2</sub>-CH<sub>2</sub>-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-,  
 -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-N(H)-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-O-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-S-,  
 -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-N(H)-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-O-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-S-,  
 -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-N(H)-CH<sub>2</sub>-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-O-CH<sub>2</sub>-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-S-CH<sub>2</sub>-,  
 -N(H)-C(=O)-C(=O)-CH<sub>2</sub>-, -N(H)-C(=O)-C(=O)-N(H)-, -N(H)-C(=O)-C(=O)-O-,  
 -N(H)-C(=O)-C(=O)-S-, -N(H)-C(=O)-CH<sub>2</sub>-CH<sub>2</sub>-, -N(H)-CH<sub>2</sub>-N(H)-C(=O)-,  
 -CH<sub>2</sub>-S-CH<sub>2</sub>-N(H)-, -CH<sub>2</sub>-N(H)-CH<sub>2</sub>-S-, -CH<sub>2</sub>-N(H)-CH<sub>2</sub>-, -CH<sub>2</sub>-CH<sub>2</sub>-N(H)-CH<sub>2</sub>-,  
 -CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-N(H)-CH<sub>2</sub>-, -CH<sub>2</sub>-N(H)-CH<sub>2</sub>-CH<sub>2</sub>-O-, or -CH<sub>2</sub>-N(H)-CH<sub>2</sub>-CH<sub>2</sub>-S-.

9. The method of claim 1 wherein R<sub>4</sub> and R<sub>5</sub> taken together are  
 -N(H)-N=N-, -N(H)-CH<sub>2</sub>-N(H)-, -N(H)-CH=CH-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-  
 CH<sub>2</sub>-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-N(H)-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-O-,  
 -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-S-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-N(H)-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-O-,  
 -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-S-, or -N(H)-C(=O)-C(=O)-N(H)-.

10. The method of claim 1 wherein R<sub>4</sub> and R<sub>5</sub> taken together are  
 -N(H)-N=N-, -N(H)-C(=O)-N(H)-, -N(H)-CH=CH-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-  
 CH<sub>2</sub>-, or -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-N(H)-.

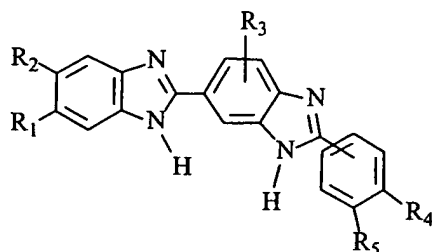
11. The method of claim 1 wherein R<sub>4</sub> and R<sub>5</sub> taken together are  
 -N(H)-N=N- or -N(H)-C(=O)-C(=O)-N(H)-.

12. The method of claim 1 wherein R<sub>1</sub> and R<sub>2</sub> are not both hydrogen.

13. The method of claim 1 wherein R<sub>1</sub> and R<sub>2</sub> are each independently halo.

14. The method of claim 1 wherein R<sub>1</sub> and R<sub>2</sub> are each bromo.

15. A method comprising inhibiting cancer cells by contacting said cancer cells with an effective amount of a compound of formula I:



(I)

wherein:

R<sub>1</sub> and R<sub>2</sub> are each independently hydrogen, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, nitro, hydroxy, halo(C<sub>1</sub>-C<sub>6</sub>)alkyl, trifluoromethoxy, halo, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkanoyl, hydroxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxycarbonyl, (C<sub>1</sub>-C<sub>6</sub>)alkylthio, (C<sub>2</sub>-C<sub>6</sub>)alkanoyloxy, aryl or heteroaryl; or R<sub>1</sub> and R<sub>2</sub> taken together are methylenedioxy; or R<sub>1</sub> and R<sub>2</sub> taken together with the atoms to which they are attached are benzo; wherein any aryl, heteroaryl, or benzo may optionally be substituted by 1, 2, or 3 substituents independently selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, nitro, hydroxy, halo(C<sub>1</sub>-C<sub>6</sub>)alkyl, trifluoromethoxy, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkanoyl, hydroxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxycarbonyl, (C<sub>1</sub>-C<sub>6</sub>)alkylthio, (C<sub>2</sub>-C<sub>6</sub>)alkanoyloxy, and halo;

R<sub>3</sub> is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, nitro, hydroxy, halo(C<sub>1</sub>-C<sub>6</sub>)alkyl, trifluoromethoxy, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkanoyl, hydroxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxycarbonyl, (C<sub>1</sub>-C<sub>6</sub>)alkylthio, (C<sub>2</sub>-C<sub>6</sub>)alkanoyloxy, or halo; and

R<sub>4</sub> and R<sub>5</sub> taken together are a 3, 4, or 5 membered saturated or unsaturated chain comprising members selected from the group consisting of non-peroxide oxygen, sulfur, N(X), and carbon, optionally substituted by oxo; wherein each X is independently absent or is H, O, (C<sub>1</sub>-C<sub>6</sub>)alkyl, phenyl or benzyl; and wherein at least one of said chain members is an N-H group; or a pharmaceutically acceptable salt thereof;

provided R<sub>4</sub> and R<sub>5</sub> taken together are not -N(H)-C(H)=N-.

16. The method of claim 15 wherein  $R_1$  is hydrogen, halo, aryl or heteroaryl; wherein any aryl or heteroaryl may optionally be substituted by 1, 2, or 3 substituents independently selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, nitro, hydroxy, halo(C<sub>1</sub>-C<sub>6</sub>)alkyl, trifluoromethoxy, and halo.

17. The method of claim 15 wherein  $R_2$  is hydrogen, halo, aryl or heteroaryl; wherein any aryl or heteroaryl may optionally be substituted by 1, 2, or 3 substituents independently selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, nitro, hydroxy, halo(C<sub>1</sub>-C<sub>6</sub>)alkyl, trifluoromethoxy, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkanoyl, hydroxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxycarbonyl, (C<sub>1</sub>-C<sub>6</sub>)alkylthio, (C<sub>2</sub>-C<sub>6</sub>)alkanoyloxy, and halo.

18. The method of claim 15 wherein  $R_1$  and  $R_2$  taken together are methylenedioxy.

19. The method of claim 15 wherein  $R_1$  and  $R_2$  taken together are benzo, which benzo is optionally substituted by 1, 2, or 3 substituents independently selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, nitro, hydroxy, halo(C<sub>1</sub>-C<sub>6</sub>)alkyl, trifluoromethoxy, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkanoyl, hydroxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxycarbonyl, (C<sub>1</sub>-C<sub>6</sub>)alkylthio, (C<sub>2</sub>-C<sub>6</sub>)alkanoyloxy, and halo.

20. The method of claim 15 wherein  $R_3$  is hydrogen.

21. The method of claim 15 wherein  $R_3$  is (C<sub>1</sub>-C<sub>6</sub>)alkoxy, nitro, hydroxy, halo(C<sub>1</sub>-C<sub>6</sub>)alkyl, trifluoromethoxy, (C<sub>1</sub>-C<sub>6</sub>)alkanoyl, hydroxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxycarbonyl, (C<sub>1</sub>-C<sub>6</sub>)alkylthio, (C<sub>2</sub>-C<sub>6</sub>)alkanoyloxy, or halo.

22. The method of claim 15 wherein  $R_4$  and  $R_5$  taken together are -N(H)-N=N-, -N(H)-N(H)-CH<sub>2</sub>-, -N(H)-N(H)-CH<sub>2</sub>-CH<sub>2</sub>-, -N(H)-CH<sub>2</sub>-N(H)-, -N(H)-CH=CH-,

-N(H)-CH<sub>2</sub>-CH<sub>2</sub>-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-,  
 -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-N(H)-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-O-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-S-,  
 -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-N(H)-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-O-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-S-,  
 -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-N(H)-CH<sub>2</sub>-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-O-CH<sub>2</sub>-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-S-CH<sub>2</sub>-,  
 -N(H)-C(=O)-C(=O)-CH<sub>2</sub>-, -N(H)-C(=O)-C(=O)-N(H)-, -N(H)-C(=O)-C(=O)-O-,  
 -N(H)-C(=O)-C(=O)-S-, -N(H)-C(=O)-CH<sub>2</sub>-CH<sub>2</sub>-, -N(H)-CH<sub>2</sub>-N(H)-C(=O)-,  
 -CH<sub>2</sub>-S-CH<sub>2</sub>-N(H)-, -CH<sub>2</sub>-N(H)-CH<sub>2</sub>-S-, -CH<sub>2</sub>-N(H)-CH<sub>2</sub>-, -CH<sub>2</sub>-CH<sub>2</sub>-N(H)-CH<sub>2</sub>-,  
 -CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-N(H)-CH<sub>2</sub>-, -CH<sub>2</sub>-N(H)-CH<sub>2</sub>-CH<sub>2</sub>-O-, or -CH<sub>2</sub>-N(H)-CH<sub>2</sub>-CH<sub>2</sub>-S-.

23. The method of claim 15 wherein R<sub>4</sub> and R<sub>5</sub> taken together are

-N(H)-N=N-, -N(H)-CH<sub>2</sub>-N(H)-, -N(H)-CH=CH-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-  
 CH<sub>2</sub>-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-N(H)-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-O-,  
 -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-S-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-N(H)-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-O-,  
 -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-S-, or -N(H)-C(=O)-C(=O)-N(H)-.

24. The method of claim 15 wherein R<sub>4</sub> and R<sub>5</sub> taken together are

-N(H)-N=N-, -N(H)-C(=O)-N(H)-, -N(H)-CH=CH-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-, -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-  
 CH<sub>2</sub>-, or -N(H)-CH<sub>2</sub>-CH<sub>2</sub>-N(H)-.

25. The method of claim 15 wherein R<sub>4</sub> and R<sub>5</sub> taken together are

-N(H)-N=N- or -N(H)-C(=O)-C(=O)-N(H)-.

26. The method of claim 15 wherein R<sub>1</sub> and R<sub>2</sub> are not both hydrogen.

27. The method of claim 15 wherein R<sub>1</sub> and R<sub>2</sub> are each independently halo.

28. The method of claim 15 wherein R<sub>1</sub> and R<sub>2</sub> are each bromo.